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A summary of the papers in this month's issue.

Solid-phase methods

- A semicarbazide dibenzosuberyl linker has been designed and used in the solid-phase preparation of peptide C-terminal semicarbazones and aldehydes (Patterson and Ramage, *Tetrahedron Letters*, 1999, *40(33)*, 6121-6124).
- A resin-bound glycine cation equivalent has been used in the solid-phase synthesis of unnatural α-amino acid derivatives (O'Donnell *et al*., *Tetrahedron Letters*, 1999, *40(32)*, 5831-5835).
- Three-component couplings of amines, olefins and aryl halides on solid-phase have been catalysed by palladium acetate (Wang and Huang, *Tetrahedron Letters*, 1999, *40(32)*, 5837-5840).
- The enzyme-catalysed kinetic resolution of racemic cyclopropane carboxylic acids tethered to solid-support has been described (Nanda *et al*., *Tetrahedron Letters*, 1999, *40(32)*, 5905-5908).
- A new acetal resin useful for the solid-phase synthesis of 1-oxacephams has been described (Furman *et al*., *Tetrahedron Letters*, 1999, *40(32)*, 5909-5912).
- The mass spectral analysis of products generated on solid-phase has been facilitated by the use of two orthogonally cleavable linkers (Murray *et al*., *Tetrahedron Letters*, 1999, *40(30)*, 5609-5612).
- A novel protocol for the solid-phase synthesis of peptidyl arginals has been described (Siev *et al*., *Tetrahedron Letters*, 1999, *40(28)*, 5123-5127).
- The solid-supported generation of aldehydes on aspartyl or glutamyl residue side-chains allows the synthesis of unnatural amino acids (Paris *et al*., *Tetrahedron Letters*, 1999, *40(28)*, 5179-5182).

Solid-phase heterocyclic synthesis

- A solid-phase intramolecular Mitsunobu reaction has been employed in the synthesis of tetrahydropyrazine-2-ones (Kung and Swayze, *Tetrahedron Letters*, 1999, *40(31)*, 5651-5654).
- Enantiopure C2-symmetric azepanes have been prepared on solid-phase from the reaction of a bis-epoxide with an amine-functionalised resin (Gauzy *et al*., *Tetrahedron Letters*, 1999, *40(33)*, 6005-6008).
- Several pyrrolidines have been prepared on solid-phase using an N-acyliminium ion reaction (Veerman *et al*., *Tetrahedron Letters*, 1999, *40(33)*, 6079-6082). The precursor was attached to the solid support using an acid stable/base labile carbamate linker.
- An efficient solid-phase approach to dibenzo[b,g]1,5-oxazocines based on an intramolecular nucleophilic aromatic substitution reaction has been developed (Ouyang and Kiselyov, *Tetrahedron Letters*, 1999, *40(32)*, 5827-5830).
- Hydantoins have been simultaneously formed and released from solid support in an uncatalysed cyclo-elimination process (Park and Kurth, *Tetrahedron Letters*, 1999, *40(32)*, 5841-5844).
- Oxadiazoles have been prepared on solid supports in good yields and purities (Liang and Qian, *Bioorg. Med. Chem. Lett.*, 1999, *9(14)*, 2101-2104).
- N-hydroxyindoles and benzo[c]isoxazoles have been prepared on solid-phase by the C-arylation of substituted acetonitriles and 1,3-dicarbonyl compounds with aryl

fluorides (Stephensen and Zaragoza, *Tetrahedron Letters*, 1999, *40(31)*, 5799-5802).

- A bis-functional carbohydrate derivative has been used in the solution-phase multicomponent synthesis of dihydropyridine derivatives (Scheffler *et al*., *Tetrahedron Letters*, 1999, *40(32)*, 5845-5848).

Solid-phase reagents

- A novel, readily available resin-bound palladium catalyst for the Suzuki reaction has been described (Zhang and Allen, *Tetrahedron Letters*, 1999, *40(32)*, 5813-5816).
- A solid-phase equivalent of TosMIC has been developed and used for the parallel solution-phase synthesis of oxazoles (Kulkarni and Ganesan, *Tetrahedron Letters*, 1999, *40(30)*, 5633-5636 and 5637-5638).

Solution-phase synthesis

- Fluorous silyl protecting groups with improved acid stability that might have applications in the solution phase synthesis of libraries have been developed and evaluated (Hird *et al*., *Tetrahedron Letters*, 1999, *40(31)*, 5667-5670).
- A highly fluorous alkoxyethyl ether protecting group has been developed to allow simple purification of small to medium-sized organic molecules (Wipf and Reeves, *Tetrahedron Letters*, 1999, *40(28)*, 5139-5142).
- *tris*-(2-Perfluorohexylethyl)tin azide is a new reagent for the preparation of 5-substituted tetrazoles from nitriles (Curran *et al*., *Tetrahedron*, 1999, *55(29)*, 8997-9006).
- A method for the synthesis of tetrasubstituted thiophenes in solution suitable for use in compound libraries has been described (McKibben *et al*., *Tetrahedron Letters*, 1999, *40(30)*, 5471-5474).
- A modification of the Ugi multi-component reaction using ethyl glyoxalate has been used to prepare libraries of 1,4-benzodiazepine-2,5-diones and diketopiperazines (Hulme and Cherrier, *Tetrahedron Letters*, 1999, *40(29)*, 5295-5299).

Novel solid supports

- 4-Vinylpyridine-based resins prepared by suspension polymerisation have been tailored for optimal hydrolytic stability (Hird *et al*., *Tetrahedron*, 1999, *55(31)*, 9585-9594).
- Polymer disks composed of various cross-linked polymers have been described as an alternative support format for solid-phase synthesis (Hird *et al*., *Tetrahedron*, 1999, *55(31)*, 9575-9584).
- Aminomethylated polystyrene grafted solid supports have been converted to chloromethylated derivatives by a diazotization reaction (Bui *et al.*, *Tetrahedron Letters*, 1999, *40(29)*, 5383-5386).

Library applications

- A solid-phase synthesis of quinolones has been employed in the search for compounds with improved antifilarial activity (Srivastava *et al*., *Bioorg. Med. Chem. Lett.*, 1999, *9(14)*, 1885-1888).

- An array of hydroxamate matrix metalloproteinase inhibitors has been prepared using a sequence of polymer-supported reagents avoiding chromatographic purification (Caldarelli *et al*., *Bioorg. Med. Chem. Lett.*, 1999, *9(14)*, 2049-2052).
- A library of β-carboxamido phosphonates have been designed and synthesised as inhibitors of imidazole glycerol phosphate dehydratase (Schweitzer *et al*., *Bioorg. Med. Chem. Lett.*, 1999, *9(14)*, 2053-2058).